

# STIC Search Report Biotech-Chem Library

# STIC Database Tracking Number: 122364

TO: Shailendra Kumar Location: 5d61 / 5c18 Friday, May 21, 2004

Art Unit: 1621 Phone: 272-0640

Serial Number: 10 / 613841

From: Jan Delaval

**Location: Biotech-Chem Library** 

**Rem 1A51** 

Phone: 272-2504

jan.delaval@uspto.gov

Search Notes	
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PTO-1590 (8-01)

22364 SEARCH REQUEST FORM

Access DB#

Scientific and Technical Information Center

Requester's Full Name: Shart Unit: Shart Unit: Phone Mail Box and Bldg/Room Location	Number 30 272 - 0	Examiner #: 69594 Da	613 841
f more than one search is subr	mitted, please prioriti	ze searches in order of need.	*****
Please provide a detailed statement of the include the elected species or structures, utility of the invention. Define any term known. Please attach a copy of the cover	e search topic, and describe keywords, synonyms, acro- is that may have a special m	as specifically as possible the subject nyms, and registry numbers, and comb eaning. Give examples or relevant cite	matter to be searched. ine with the concept or
Title of Invention:	cycloally Ami	nes as modulators y c	homokine Rocello
Title of Invention:	Dean V	Vacker et al	
Earliest Priority Filing Date:	10/15/1999	·	
C(=5) P	COMBINS COMBINS	(parent, child, divisional, or issued patents  G  C(0) 0 8 3 502 N) V V  (a) 8289 C(C) 408  C(C) 408  Alogo Feed	50283 -1) MX*X5
1) ) G an e	chared as	mealerd in the	Clasium.
**************************************	**************************************	**************************************	**************************************
Searcher:	NA Sequence (#)	STN	<del></del>
Searcher Phone #: 27504	AA Sequence (#)	Díalog	12 de 19
Searcher Location:		Questel/Orbit	<u>(4)</u>
Date Searcher Picked Up:		Dr.Link	<del>, 1</del> 9
Date Completed: 2		Lexis/Nexis	<u> </u>
Searcher Prep & Review Time:		Sequence Systems	
Clerical Prep Time: 30		Other (specify)	
Online Time:	Other	Other (specify)	

=> fil reg FILE 'REGISTRY' ENTERED AT 10:07:34 ON 21 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 MAY 2004 HIGHEST RN 684211-73-2 DICTIONARY FILE UPDATES: 20 MAY 2004 HIGHEST RN 684211-73-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> d sta que 114 L9 STR

VAR G1=O/S/N
REP G2=(1-2) C
REP G3=(0-5) C
VAR G4=17/22/27/32/38/43/49
VAR G5=N/S/O
VAR G6=NO2/CN
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY AT 10

### DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 5

NUMBER OF NODES IS 51

STEREO ATTRIBUTES: NONE

410223 SEA FILE=REGISTRY ABB=ON PLU=ON (16.138.1 OR 46.157.1 OR

110.35.1 OR 16.145.1 OR 46.160.1 OR 110.37.1 OR 16.136.1 OR 46.146.1 OR 119.34.1)/RID AND (C3 OR C4 OR C5 OR C6 OR C7 OR

C8)/ES AND NR>=4 AND N>=2

2 SEA FILE=REGISTRY SUB=L12 SSS FUL L9 L14

100.0% PROCESSED 391647 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.14

### => d ide can tot 114

ANSWER 1 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN L14

335388-52-8 REGISTRY RN

Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-CN fluorophenyl) methyl]cyclohexyl]amino]tetrahydro-3-furanyl]- (9CI)

INDEX NAME) FS STEREOSEARCH

C26 H32 F N3 O3 ΜF

CI COM

SR CA

CA, CAPLUS, USPATFULL STN Files: LC

### Absolute stereochemistry.

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

### REFERENCE 1: 134:310925

ANSWER 2 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN L14

335388-51-7 REGISTRY RN

Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-CN

fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

STEREOSEARCH FS

C26 H32 F N3 O3 . Cl H MF

SR

STN Files: CA, CAPLUS, USPATFULL LC

CRN (335388-52-8) Absolute stereochemistry.

### HCl

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:310925

=> fil uspatall

FILE 'USPATFULL' ENTERED AT 10:08:10 ON 21 MAY 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:08:10 ON 21 MAY 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=>

=> d 143 bib abs hitstr tot

L43 ANSWER 1 OF 2 USPATFULL on STN

AN 2004:19454 USPATFULL

TI Benzylcycloalkyl amines as modulators of chemokine receptor activity

IN Wacker, Dean A., Chadds Ford, PA, UNITED STATES

Duncia, John V., Hockessin, DE, UNITED STATES

Santella, Joseph B., III, Springfield, PA, UNITED STATES

Gardner, Daniel S., Wilmington, DE, UNITED STATES

PI US 2004014758 A1 20040122

AI US 2003-613841 A1 20030703 (10)

RLI Division of Ser. No. US 2000-687192, filed on 13 Oct 2000, GRANTED, Pat.

No. US 6608227

PRAI US 1999-159899P 19991015 (60)

DT Utility

FS APPLICATION

LREP STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O

BOX 4000, PRINCETON, NJ, 08543-4000

CLMN Number of Claims: 27 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 3473

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present application describes modulators of CCR3 of formula (I): ##STR1##

or pharmaceutically acceptable salt forms thereof, useful for the prevention of inflammatory diseases such as asthma and other allergic diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 335388-52-8P

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RN 335388-52-8 USPATFULL

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

IT 335388-51-7P

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RN 335388-51-7 USPATFULL

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

```
ANSWER 2 OF 2 USPATFULL on STN
L43
       2003:222192 USPATFULL
AN
       Benzylcycloalkyl amines as modulators of chemokine receptor activity
TI
       Wacker, Dean A, Chadds Ford, PA, United States
IN
       Duncia, John V, Hockessin, DE, United States
       Santella, III, Joseph B, Springfield, PA, United States
       Gardner, Daniel S, Wilmington, DE, United States
       Bristol-Myers Squibb Pharma, Princeton, NJ, United States (U.S.
PA
       corporation)
                                20030819
       US 6608227
ΡI
       US 2000-687192
                                20001013 (9)
ΑI
                           19991015 (60)
       US 1999-159899P
PRAI
DT
       Utility
       GRANTED
FS
       Primary Examiner: Kumar, Shailendra
EXNAM
       Number of Claims: 37
CLMN
       Exemplary Claim: 1
ECL
       0 Drawing Figure(s); 0 Drawing Page(s)
DRWN
LN.CNT 3006
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present application describes modulators of CCR3 of formula (I): ##STR1##

or pharmaceutically acceptable salt forms thereof, useful for the prevention of inflammatory diseases such as asthma and other allergic diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 335388-52-8P

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RN 335388-52-8 USPATFULL

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 335388-51-7P

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RN 335388-51-7 USPATFULL

CN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

=> fil hcaplus FILE 'HCAPLUS' ENTERED AT 10:08:55 ON 21 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS) Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 21 May 2004 VOL 140 ISS 22 FILE LAST UPDATED: 20 May 2004 (20040520/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

### => d all hitstr 122

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ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
    2001:300670 HCAPLUS
ΑN
    134:310925
DN
    Entered STN: 27 Apr 2001
ED
    Preparation of benzylcycloalkyl amines for pharmaceutical use as
    modulators of chemokine receptor activity
    Wacker, Dean A.
TN
    Du Pont Pharmaceuticals Company, USA
PA
    PCT Int. Appl., 143 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΆ
IC
     ICM C07C275-38
         C07C275-42; A61K031-17; A61K031-277; A61K031-40; A61K031-34;
          A61P029-00; C07D307-22; C07D207-09; C07D207-14
     24-5 (Alicyclic Compounds)
CC
     Section cross-reference(s): 1, 25
FAN.CNT 1
                                          APPLICATION NO. DATE
                     KIND DATE
     PATENT NO.
                                          _____
                    ____
     _____
                     A1 20010426
                                         WO 2000-US28353 20001013 <--
     WO 2001028987
PΙ
         W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ,
            PL, RO, SG, SI, SK, TR, UA, VN, ZA
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE
                                          EP 2000-973511
                                                           20001013 <--
                           20020710
                      A2
     EP 1220836
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, CY
                                                           20001013 <--
                                          JP 2001-531788
     JP 2003512349
                      T2
                           20030402
                                                           20001013 <--
                                          US 2000-687192
     US 6608227
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                      В1
                                          US 2003-613841
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     US 2004014758
                           20040122
                      A1
PRAI US 1999-159899P
                      P
                           19991015
                                     <--
     US 2000-687192
                      А3
                           20001013
     WO 2000-US28353
                      W
                           20001013 <--
     MARPAT 134:310925
os
GI
```

Benzylcycloalkyl amines, such as I [R1, R6 = H, alkyl, alkenyl, alkynyl, AΒ cycloalkyl, etc.; R4 = H, alkyl, alkenyl, alkynyl, cycloalkyl, R4' = H; R4R4' = O, alkylene; R5 = benzyl; G = acyl, aminocarbonyl, aminosulfonyl, amidino, etc.; X, X1 = O, S, CH2, (CH2)2, NR6, etc.], were prepared as chemokine receptor CCR-3 modulators for pharmaceutical use in the prevention of inflammatory diseases such as asthma and other allergic diseases. Thus, benzylcycloalkyl amine II was prepared via a multistep synthetic sequence starting from F-4-C6H4CH2P+Ph3Cl-, 1,4cyclohexanedione, (1R,2R)-1,2-cyclohexanediamine, and MeCO-3-C6H4NCO. prepared benzylcycloalkyl amines were tested for chemokine receptor CCR3 binding activity.

benzylcycloalkyl amine prepn chemokine receptor modulator; STantiinflammatory chemokine receptor modulator benzylcycloalkylamine prepn; antiasthmatic chemokine receptor modulator benzylcycloalkylamine prepn; allergy inhibitor chemokine receptor modulator benzylcycloalkylamine prepn

Allergy inhibitors IT

Anti-inflammatory agents

Antiasthmatics

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

Chemokine receptors ΤТ

IT

IT

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(β chemokine receptor CCR3; preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

335276-65-8P 335388-52-8P 335276-64-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

335276-29-4P 335276-25-0P 335276-27-2P 335276-22-7P 335276-23-8P ΙT 335276-34-1P 335276-33-0P 335276-32-9P 335276-30-7P 335276-31-8P 335276-39-6P 335276-38-5P 335276-37-4P 335276-35-2P 335276-36-3P 335276-44-3P 335276-43-2P 335276-42-1P 335276-40-9P 335276-41-0P 335276-49-8P 335276-51-2P 335276-47-6P 335276-45~4P 335388-51-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

4746-97-8, 1,4-Dioxaspiro[4.5]decan-8-one 20439-47-8 3462-95-1

(CA

98369-85-8 68832-13-3 45434-73-9 23138-64-9 23356-96-9 122536-77-0 122536-76-9 RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity) 335276-55-6P 335276-56-7P 335276-54-5P 335276-53-4P IT335276-52-3P 335276-61-4P 335276~59-0P 335276-60-3P 335276-58-9P 335276-57-8P 335388-54-0P 335276-63-6P 335388-53-9P 335276-62-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity) THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT RE (1) Du Pont Pharmaceuticals; WO 0035454 A 2000 HCAPLUS (2) Merck; WO 9825604 A 1998 HCAPLUS (3) The Government Of The United States Of America; WO 9927939 A 1999 HCAPLUS 335388-52-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity) 335388-52-8 HCAPLUS RN Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4-CN

fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]- (9CI)

Absolute stereochemistry.

INDEX NAME)

IT 335388-51-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzylcycloalkyl amines for pharmaceutical use as modulators of chemokine receptor activity)

RN 335388-51-7 HCAPLUS

Urea, N-(3-acetylphenyl)-N'-[(3S,4S)-4-[[4-[(4fluorophenyl)methyl]cyclohexyl]amino]tetrahydro-3-furanyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

### HC1

## => d his

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(FILE 'REGISTRY' ENTERED AT 09:29:51 ON 21 MAY 2004)
                 DEL HIS
L1
           79921 S (16.138.1 OR 46.157.1 OR 110.35.1 OR 16.145.1 OR 46.160.1 OR
T<sub>1</sub>2
           67184 S L1 AND N>=2
L_3
                 STR
L4
               0 S L3 SAM SUB=L2
L_5
               0 S L3 SAM SUB=L1
L6
                 STR L3
        1097375 S (OC4 OR OC5 OR OC6 OR SC4 OR SC5 OR SC6 OR NC4 OR NC5 OR NC6)
L7
L8
               0 S L6 SAM SUB=L7
L9
                 STR L6
L10
               0 S L9 SAM SUB=L7
L11
               0 S L9 SAM SUB=L1
         410223 S (16.138.1 OR 46.157.1 OR 110.35.1 OR 16.145.1 OR 46.160.1 OR
L12
L13
               0 S L9 SAM SUB=L12
L14
               2 S L9 FUL SUB=L12
                 SAV L14 KUMAR613/A
     FILE 'HCAOLD' ENTERED AT 09:57:29 ON 21 MAY 2004
L15
              0 S L14
     FILE 'HCAPLUS' ENTERED AT 09:57:37 ON 21 MAY 2004
L16
              1 S L14
L17
               1 S (US20040014758 OR US6608227)/PN OR (WO2000-US28353 OR US99-15
                E WACKER D/AU
L18
              32 S E3-E6
                E DUNCIA J/AU
L19
              89 S E4-E10
                E SANTELLA J/AU
L20
             27 S E4-E7
                E GARDNER D/AU
            167 S E3, E20, E27, E31, E32
L21
              1 S L16,L17 AND L18-L21
L22
L23
            268 S L16-L21 NOT L22
             14 S L18 AND L19-L21
L24
             26 S L19 AND L20, L21
L25
              6 S L20 AND L21
L26
             30 S L24-L26 NOT L22
L27
L28
            238 S L23 NOT L27
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FILE 'REGISTRY' ENTERED AT 10:02:57 ON 21 MAY 2004

FILE 'HCAPLUS' ENTERED AT 10:02:58 ON 21 MAY 2004

L29		SET SMARTSELECT ON SEL L22 1- RN : 52 TERMS SET SMARTSELECT OFF
Г30		'REGISTRY' ENTERED AT 10:02:58 ON 21 MAY 2004 52 S L29
L31		'HCAPLUS' ENTERED AT 10:03:07 ON 21 MAY 2004 SET SMARTSELECT ON SEL L27 1- RN: 2463 TERMS SET SMARTSELECT OFF
L32		'REGISTRY' ENTERED AT 10:03:10 ON 21 MAY 2004 2463 S L31
L33		'HCAPLUS' ENTERED AT 10:03:25 ON 21 MAY 2004 SET SMARTSELECT ON SEL L28 1- RN : 2040 TERMS SET SMARTSELECT OFF
L36 L37 L38 L39		'REGISTRY' ENTERED AT 10:03:35 ON 21 MAY 2004 2040 S L33 4347 S L32,L34 10 S L7 AND L30 8 S L36 NOT L14 1448 S L35 AND L7 NOT L36 454 S L38 AND L1 1 S L39 AND (OC4 OR OC5 OR OC6)/ES 1 S L39 AND (SC4 OR SC5 OR SC6)/ES 447 S L39 AND (NC4 OR NC5 OR NC6)/ES AND N>=3
L43	FILE	'USPATFULL, USPAT2' ENTERED AT 10:07:22 ON 21 MAY 2004 2 S L14
	FILE	'REGISTRY' ENTERED AT 10:07:34 ON 21 MAY 2004
	יי.דים	UISDATEILL IISDATO' ENTERED AT 10:08:10 ON 21 MAY 2004

FILE 'HCAPLUS' ENTERED AT 10:08:55 ON 21 MAY 2004

=>